

10/666, 068

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0.21

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STRUCTURE FILE UPDATES: 8 NOV 2004 HIGHEST RN 777024-10-9
DICTIONARY FILE UPDATES: 8 NOV 2004 HIGHEST RN 777024-10-9

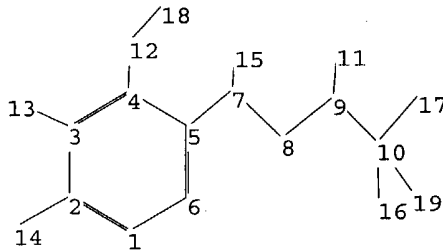
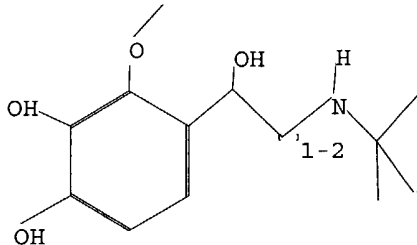
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=>
Uploading C:\Program Files\Stnexp\Queries\10666068.str



chain nodes :

7 8 9 10 11 12 13 14 15 16 17 18 19

ring nodes :

1 2 3 4 5 6

chain bonds :

2-14 3-13 4-12 5-7 7-8 7-15 8-9 9-10 9-11 10-16 10-17 10-19 12-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

2-14 3-13 4-12 7-15 8-9 9-10 12-18

exact bonds :

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normalized bonds :

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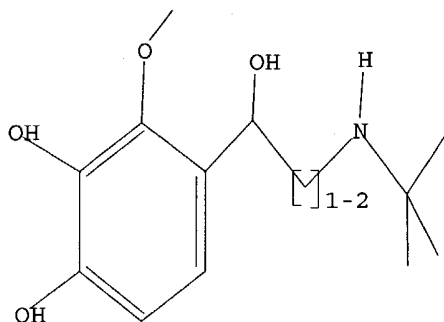
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS

L1 STRUCTURE UPLOADED

=> d query

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:20:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6 TO 266
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 13:20:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 91 TO ITERATE

100.0% PROCESSED 91 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.01

L3 16 SEA SSS FUL L1

=> fil caplus

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FILE COVERS 1907 - 10 Nov 2004 VOL 141 ISS 20
FILE LAST UPDATED: 9 Nov 2004 (20041109/ED)

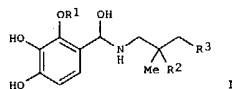
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 9 L3

=> d l4 1-9 abs ibib hitstr

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
GI



AB Title compds. [I; R1, R2 = Cl-4 alkyl; R3 = Cl-4 alkyl, (substituted) Ph; or R2R3 = CH2CH2, (CH2)3], were prepared as β 2-adrenergic sympathomimetics (no data). Thus, 1-(3,4-dihydroxy-2-methoxyphenyl)-2-[(1,1-dimethylpropylamino)ethanone (preparation given) was hydrogenated by using

PtO in MeOH to give 85% 4-[2-[(1,1-dimethylpropylamino)-1-hydroxyethyl]-3-methoxybenzene-1,2-diol.

ACCESSION NUMBER: 2004:307317 CAPLUS

DOCUMENT NUMBER: 140:321101

TITLE:

INVENTOR(S): Bouyssou, Thierry; Buettner, Frank; Konetski, Ingo; Festel, Sabine; Schnapp, Andreas; Schollenberger, Hermann; Schromm, Kurt; Heine, Claudia

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany

SOURCE: Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------------------------|------------------|----------|
| DE 10246374 | A1 | 20040415 | DE 2002-10246374 | 20021004 |
| US 2004122108 | A1 | 20040624 | US 2003-666068 | 20030919 |
| WO 2004033412 | A1 | 20040422 | WO 2003-EP10661 | 20030925 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DR, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRIORITY APPLN. INFO.: | | DE 2002-10246374 A 20021004 | | |
| | | US 2002-432499P P 20021211 | | |

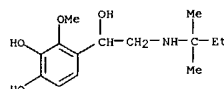
OTHER SOURCE(S): MARPAT 140:321101

IT 677776-89-SB 677777-04-7P 677777-17-2P

677777-23-0P 677777-27-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

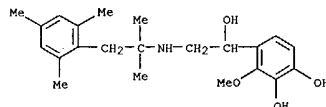
L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(Uses)
(prepn. of benzenediols for treatment of respiratory tract diseases)
RN 677776-89-5 CAPLUS
CN 1,2-Benzenediol, 4-[2-[(1,1-dimethylpropylamino)-1-hydroxyethyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 677777-04-7 CAPLUS

CN 1,2-Benzenediol,

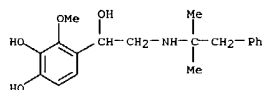
4-[2-[(1,1-dimethyl-2-(2,4,6-trimethylphenyl)ethyl)amino]-1-hydroxyethyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 677777-17-2 CAPLUS

CN 1,2-Benzenediol,

4-[2-[(1,1-dimethyl-2-phenylethyl)amino]-1-hydroxyethyl]-3-methoxy- (9CI) (CA INDEX NAME)



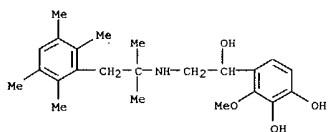
RN 677777-23-0 CAPLUS

CN 1,2-Benzenediol,

4-[2-[(1,1-dimethyl-2-(2,3,5,6-tetramethylphenyl)ethyl)amino]-1-hydroxyethyl]-3-methoxy- (9CI) (CA INDEX NAME)

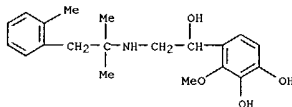
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L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

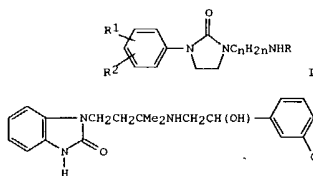


RN 677777-27-4 CAPLUS

CN 1,2-Benzenediol, 4-[2-[(1,1-dimethyl-2-(2-methylphenyl)ethyl)amino]-1-hydroxyethyl]-3-methoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
GI



AB The title compds. I [R = (un)substituted β -hydroxyphenethyl, R1 = H, halo, Cl-4 alkyl or alkoxy, F3C, NH2, R2 = H, halo, Cl-4 alkyl or alkoxy, F3C, R1R2 = methylenedioxy, ethylenedioxy, n = 2-6] useful as antihypertensives, broncholytics, and vasodilators (no data) were prepared by a variety of reduction reactions. Thus, in a comparative example 3,4-(PhCH2O)2C6H3COCH(OH)OEt was heated with 1-(3-amino-3-methylbutyl)benzimidazolinone in EtOH 3 h, cooled, and treated with NaBH4 to give II, isolated as its maleate.

ACCESSION NUMBER: 1983:488195 CAPLUS

DOCUMENT NUMBER: 99:88195

TITLE: N-Aminoalkylimidazolidines

INVENTOR(S): Mentrup, Anton; Schromm, Kurt; Renth, Ernst Otto; Reichl, Richard; Trauneker, Werner; Hoefke, Wolfgang

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Fed. Rep.

SOURCE: Ger. Pat. Specif. (Aust.), 66 pp.

CODEN: AIXXAP

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

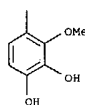
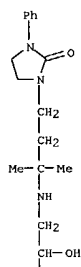
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|------------------------|------|----------|-----------------|----------|
| AU 526579 | B2 | 19830120 | AU 1981-67647 | 19810225 |
| AU 8167647 | A1 | 19810521 | AU 1981-67647 | 19810225 |
| PRIORITY APPLN. INFO.: | | | | |

IT 64928-21-8P 86733-03-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

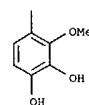
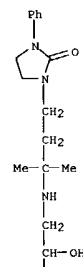
RN 64928-21-8 CAPLUS

CN 2-Imidazolidinone, 1-[3-[(2-(3,4-dihydroxy-2-methoxyphenyl)-2-hydroxyethyl)amino]-3-methylbutyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 86733-03-1 CAPLUS
CN Formic acid, compd. with 1-[3-[(2-{3,4-dihydroxy-2-methoxyphenyl}amino)-3-methylbutyl]-3-phenyl-2-imidazolidinone (9CI) (CA INDEX NAME)
CM 1
CRN 64928-21-8
CMF C23 H31 N3 O5

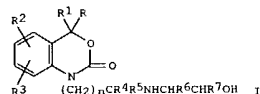
PAGE 2-A



CM 2
CRN 64-18-6
CMF C H2 O2

O=CH-OH

PAGE 2-A



AB Benzoxazinones I (R, R1, R6 = H, alkyl; R2, R3 = H, F, Cl, OH, Me, Et, alkoxy; R2R3 = OCH2O; R4, R5 = H, Me; R7 = substituted Ph; n = 1-3) were prepared. Thus 1,1-dimethyl-3-[(4,4-dimethyl-2-oxo-3,1-benzoxazin-1-yl)propanamine was treated with 3,4-H2NCO(HO)C6H3COCH2Et and reduced with NaBH4 to give I [R = R1 = R4 = R5 = Me, R2 = R3 = R6 = H, R7 = 3,4-H2NCO(HO)C6H3, n = 2] (II). II.MeSO3H had antihypertensive activity

at 10 mg/kg orally in rats.

ACCESSION NUMBER: 1982:199711 CAPLUS

DOCUMENT NUMBER: 96:199711

TITLE: 3,1-Benzoxazin-2-ones and their uses

INVENTOR(S): Mentrop, Anton; Schromm, Kurt; Renth, Ernst Otto;

Hoefke, Wolfgang; Gaida, Wolfram; Stieller, Ilse;

Fuegner, Armin

PATENT ASSIGNEE(S): Boehringer, C. H., Sohn, Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------|------|----------|-----------------|----------|
| EP 43940 | A1 | 19820120 | EP 1981-104787 | 19810622 |
| EP 43940 | B1 | 19840912 | | |
| R: AT, BE, CH, DE, FR, IT, LU, NL, SE | | | | |
| DE 3026534 | A1 | 19820318 | DE 1980-3026534 | 19800712 |
| AT 9336 | E | 19840915 | AT 1981-104787 | 19810622 |
| US 4341778 | A | 19820727 | US 1981-280349 | 19810706 |
| DK 8103067 | A | 19820113 | DK 1981-3067 | 19810710 |
| DK 149851 | B | 19861013 | | |
| DK 149851 | C | 19870504 | | |
| FI 8102183 | A | 19820113 | FI 1981-2183 | 19810710 |
| FI 74703 | B | 19871130 | | |
| FI 74703 | C | 19880310 | | |
| NO 8102355 | A | 19820113 | NO 1981-2355 | 19810710 |
| NO 158578 | B | 19880627 | | |
| NO 158578 | C | 19881005 | | |
| GB 2080296 | A | 19820203 | GB 1981-21321 | 19810710 |
| GB 2080296 | B2 | 19830928 | | |
| ES 503837 | A1 | 19820601 | ES 1981-503837 | 19810710 |
| AU 8172731 | A1 | 19820916 | AU 1981-72731 | 19810710 |
| AU 540916 | B2 | 19841206 | | |
| ZA 8104687 | A | 19830330 | ZA 1981-4687 | 19810710 |
| DD 202018 | A5 | 19830824 | DD 1981-231670 | 19810710 |

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
O 19830829 HU 1981-2036 19810710
HU 183515 B 19840528
CA 1165317 A1 19840410 CA 1981-381559 19810710
IL 63285 A1 19850331 IL 1981-63285 19810710
JP 57048975 A2 19820320 JP 1981-109186 19810713
ES 508653 A1 19821101 ES 1982-508653 19820112
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ES 508655 A1 19821101 ES 1982-508655 19820112
PRIORITY APPLN. INFO.: DE 1980-3026534 19800712
EP 1981-104787 19810622

OTHER SOURCE(S): CASREACT 96:199711

IT 81696-95-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 81696-95-9 CAPLUS

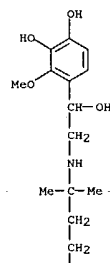
CN Formic acid, compd. with 1-[3-[(2-{3,4-dihydroxy-2-methoxyphenyl}amino)-3-methylbutyl]-1,4-dihydro-4,4-dimethyl-2H-3,1-benzoxazin-2-one (1:1) (9CI) (CA INDEX NAME)

CM 1

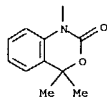
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CMF C24 H32 N2 O6

PAGE 1-A



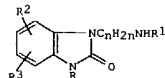
PAGE 2-A



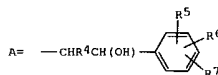
CM 2

CRN 64-18-6
CMF C H2 O2

O=CH-OH



I



AB Title compds. I [R = H, alkyl; n = 2-6; R1 = H, PhCH2, A [R4 = H, Me, Et; R5, R6, and R7 (same or different) are H, halo, CH2OH, CF3, alkyl, alkoxy,

NO2, cyano, CONHR8 (R8 = H, alkyl, OH), CO2H, carbalkoxy, OH, alkanoyloxy, PhCH2O, MeSO2CH2; or R5R6 = OCH2O, OCH2CH2O, benzo, OCH2CONH, CH2CH2CONH]; R2 = H, halo, alkyl, alkoxy, CF3, NH2; R3 = H, halo, alkyl, alkoxy, CF3; or R2R3 = OCH2O, OCH2CH2O], useful as central nervous system stimulants, antihypertensives, and vasodilators (no data), were prepared

by different methods. A mixt of 3,4-(PhCH2O)2C6H3COCH(OH)OEt and 1-(3-amino-3,3-dimethylpropyl)-2-benzimidazolinone in EtOH was heated 3

h, mixed with NaBH4 at 0-5°, kept 12 h at room temperature, acidified, and worked up to give I [R = R2 = R3 = H, CnH2n = CH2CH2CMe2, R1 = A [R4 = R7 = H, R5 = 3-PhCH2O, R6 = 4-PhCH2O]]. Also prepared was I [R = R2 = R3 =

H, CnH2n = CH2CH2CMe2, R1 = A (R4 = R7 = H, R5 = 3-OH, R6 = 4-OH)], which exhibited bronchodilator activity.

ACCESSION NUMBER: 1981:4017 CAPLUS
DOCUMENT NUMBER: 94:4017

TITLE: Aminoalkyl-substituted benzimidazolidin-2-ones
INVENTOR(S): Hoeke, Wolfgang; Mentrup, Anton; Reichl, Richard;

PATENT ASSIGNEE(S): Renth, Ernst Otto; Schromm, Kurt; Traunecker, Werner
Boehringer Ingelheim G.m.b.H., Fed. Rep. Ger.
U.S., 45 pp. Cont.-in-part of U.S. 4,154,829.

SOURCE: CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 4215119 | A | 19800729 | US 1979-26608 | 19790403 |
| DE 2609645 | A1 | 19770915 | DE 1976-2609645 | 19760309 |
| US 4154829 | A | 19790515 | US 1977-773394 | 19770302 |
| US 4271158 | A | 19810602 | US 1979-102904 | 19791213 |
| US 4363814 | A | 19821214 | US 1980-218786 | 19801222 |
| PRIORITY APPLM. INFO.: | | | DE 1976-2609645 | 19760309 |

US 1977-773394 19770302

US 1979-26608 19790403

US 1979-102904 19791213

IT 64928-22-9P

RL: SPW (Synthetic preparation); PREP (Preparation)
(preparation of)

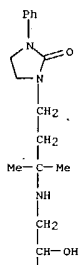
RN 64928-22-9 CAPLUS

CN Formic acid, compd. with 1-[3-[[2-(3,4-dihydroxy-2-methoxyphenyl)-2-hydroxyethyl]amino]-3-methylbutyl]-3-phenyl-2-imidazolidinone (1:1) (9CI)
(CA INDEX NAME)

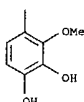
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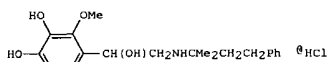
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CMF C23 H31 N3 O5

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PAGE 2-A





I

AB The β -receptor stimulant effects of Sm220Cl-HCl (dl-N-(1,1-dimethyl-3-phenyl-propyl)-2-hydroxy-2-(3,4-dihydroxy-2-methoxyphenyl)ethylamine-HCl) (I) (64725-05-9) and (-)-isoprenaline were compared in isolated atrial (B1) and tracheal (B2) preps. from guinea-pigs and cats. The compds. were also tested for their ability to increase the heart rate (B1), reduce serotonin-induced increases in pulmonary resistance (B2), and decrease soleus muscle contractility (B2) in vivo in the two species. Calculated selectivity ratios [activity-ratio (heart):activity-ratio (bronchial smooth muscle)] from the in vitro expts.

showed that I possessed β_2 -receptor selectivity. This was more marked in guinea pig than in cat preps. In the anesthetized animals

this species difference was more apparent; in cats, I was non-selective in its actions for β_1 - and β_2 -receptor mediated responses, while marked β_2 -receptor selectivity was obtained in the guinea pig. Since in both species, the activity-ratios for β_2 -receptor mediated actions are similar, the differences in the β_1/β_2 -receptor selectivity of (I) are caused by the divergent cardiac effects produced by the drug.

ACCESSION NUMBER: 1978:310 CAPLUS
DOCUMENT NUMBER: 88:310

TITLE: Species difference in the β_1/β_2 -adrenoceptor selectivity of Sm220Cl in the cat and guinea-pig

AUTHOR(S): Bohmer, K.; Raper, C.

CORPORATE SOURCE: Dep. Pharmacol., Victorian Coll. Pharm., Parkville, Australia

SOURCE: Clinical and Experimental Pharmacology and Physiology (1977), 4(4), 349-58

CODEN: CEXPB9; ISSN: 0305-1870

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 24008-01-3

RL: BIOL (Biological study)

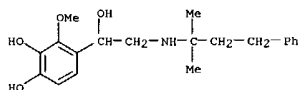
(sympathomimetic activity of, selectivity of, species differences in)

RN 24008-01-3 CAPLUS

CN 1,2-Benzenediol,

4-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-

3-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

AB Approx. 270 title compds., RCH(OH)CH₂NHR₁ (I, R = aryl, e.g., p-HOC₆H₄, 3,5-(PhCH₂O)₂C₆H₃, 3,4-cl₂C₆H₃; Z = (CH₂)_n, n = 1-3, CH₂CH₂CMe₂, etc.; R₁ = 1,2,3,4-tetrahydro-2-oxoquinolino (Q), 2-oxo-1,2-dihydrobenzimidazol-1-yl, 2-oxo-3-phenylimidazol-1-yl, etc.) were prepared from R₁NH₂ and ROCHO or its derivs., or ROCH₂Br. Thus, 5.6 g

3,4-dichlorophenylglyoxal hydrate and 4.5 g 1-(3-aminopropyl)-1,2,3,4-tetrahydro-2-quinolinone was heated 1 hr at 50° and the mixture treated with 5 g NaBH₄ at 0° to give 5.5 g I (R = 3,4-cl₂C₆H₃, Z = (CH₂)₃, R₁ = Q). I (R = 3,4-MeSO₂NH(OH)C₆H₃, Z = CH₂CH₂CMe₂, R₁ = Q) was effective as isoxsuprine as a peripheral vasodilator in the dog. I (R = 3,4-MeNHCO(OH)C₆H₃, Z = CH₂CH₂CMe₂, R₁ = Q) produced a blood pressure of 85 mm when given to hypertensive rats. Guinea pigs treated with I (R = 3,4-(HO)₂C₆H₃, Z = CH₂CH₂CMe₂, R₁ = 2,3-dihydro-2-oxo-benzimidazol-1-yl), exhibited a broncholytic ED₅₀ (intravenous) of 0.09 μ g/kg vs. 3 μ g/kg for isoproterenol.

ACCESSION NUMBER: 1977:601503 CAPLUS

DOCUMENT NUMBER: 87:201503

TITLE: Aminoalkyl heterocycles

INVENTOR(S): Mentrup, Anton; Schromm, Kurt; Renth, Ernst Otto;

Reichl, Richard; Trauneker, Werner; Hoefke, Wolfgang

Boehringer, C. H., Sohn, Fed. Rep. Ger.

SOURCE: Ger. Offen., 79 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| DE 2609645 | A1 | 19770915 | DE 1976-2609645 | 19760309 |
| SU 690530 | D | 19791115 | SU 1977-2453505 | 19770222 |
| FI 7700586 | A | 19770910 | FI 1977-586 | 19770223 |
| FI 69070 | B | 19850830 | | |
| FI 69070 | C | 19851210 | | |
| AT 7701223 | A | 19800615 | AT 1977-1223 | 19770224 |
| AT 360542 | B | 19810112 | | |
| RO 76589 | P | 19810430 | RO 1977-96539 | 19770301 |
| RO 79569 | P | 19811124 | RO 1977-89565 | 19770301 |
| RO 79706 | P | 19820817 | RO 1977-101591 | 19770301 |
| US 4154829 | A | 19790515 | US 1977-773394 | 19770302 |
| CS 209435 | P | 19811231 | CS 1977-1476 | 19770304 |
| CS 220320 | P | 19830325 | CS 1978-5352 | 19770304 |
| NL 7702403 | A | 19770913 | NL 1977-2403 | 19770307 |
| CH 630358 | A | 19820615 | CH 1977-2819 | 19770307 |
| BE 852223 | A1 | 19770908 | BE 1977-175593 | 19770308 |
| DK 7701021 | A | 19770910 | DK 1977-1021 | 19770308 |
| JP 52108970 | A2 | 19770912 | JP 1977-25323 | 19770308 |
| NO 7700804 | A | 19770912 | NO 1977-804 | 19770308 |
| NO 147950 | B | 19830405 | | |
| NO 147950 | C | 19830713 | | |
| AU 7723009 | A1 | 19780914 | AU 1977-23009 | 19770308 |
| AU 515953 | B2 | 19810514 | | |
| ZA 7701412 | A | 19781129 | ZA 1977-1412 | 19770308 |
| CA 1086317 | A1 | 19800823 | CA 1977-273388 | 19770308 |
| IL 51627 | A1 | 19801026 | IL 1977-51627 | 19770308 |
| PL 112937 | B1 | 19801129 | PL 1977-215210 | 19770308 |
| HU 20328 | O | 19810728 | HU 1977-B01653 | 19770308 |

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| HU 177953 | P | 19820228 | | |
| SE 435059 | B | 19840903 | SE 1977-2609 | 19770308 |
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| FR 2343731 | A1 | 19771007 | FR 1977-7018 | 19770309 |
| FR 2343731 | B1 | 19820226 | | |
| GB 1571231 | A | 19800709 | GB 1977-9952 | 19770309 |
| SU 676163 | D | 19790725 | SU 1977-2541704 | 19771116 |
| SU 683616 | D | 19790830 | SU 1977-2543651 | 19771116 |
| SU 685149 | D | 19790905 | SU 1977-2542149 | 19771116 |
| FR 2372810 | A1 | 19780630 | FR 1978-2775 | 19780201 |
| FR 2372810 | B1 | 19821126 | | |
| ES 466601 | A1 | 19781001 | ES 1978-466601 | 19780203 |
| ES 466606 | A1 | 19781001 | ES 1978-466606 | 19780203 |
| ES 466598 | A1 | 19781001 | ES 1978-466598 | 19780203 |
| ES 466599 | A1 | 19781001 | ES 1978-466599 | 19780203 |
| ES 466600 | A1 | 19781001 | ES 1978-466600 | 19780203 |
| ES 466605 | A1 | 19781001 | ES 1978-466605 | 19780203 |
| ES 466604 | A1 | 19781001 | ES 1978-466604 | 19780203 |
| ES 466603 | A1 | 19781001 | ES 1978-466603 | 19780203 |
| ES 466602 | A1 | 19781001 | ES 1978-466602 | 19780203 |
| US 4215119 | A | 19800729 | US 1979-26608 | 19790403 |
| US 4271158 | A | 19810602 | US 1979-102904 | 19791213 |
| AT 8000203 | A | 19810215 | AT 1980-203 | 19800116 |
| AT 363940 | B | 19810910 | | |
| AT 8000204 | A | 19810215 | AT 1980-204 | 19800116 |
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| AT 8000209 | A | 19810215 | AT 1980-209 | 19800116 |
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| AT 8000205 | A | 19830115 | AT 1980-205 | 19800116 |
| AT 372083 | B | 19830825 | | |
| AT 8000206 | A | 19830115 | AT 1980-206 | 19800116 |
| AT 372084 | B | 19830825 | | |
| US 4363814 | A | 19821214 | US 1980-218786 | 19801222 |
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| CH 630360 | A | 19820615 | CH 1981-2847 | 19810430 |
| CH 630361 | A | 19820615 | CH 1981-2848 | 19810430 |
| CH 630362 | A | 19820615 | CH 1981-2849 | 19810430 |
| CH 630363 | A | 19820615 | CH 1981-2850 | 19810430 |
| CH 630364 | A | 19820615 | CH 1981-2851 | 19810430 |
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| JP 61000072 | A2 | 19860106 | JP 1985-126401 | 19850612 |

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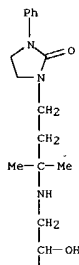
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| | | | US 1979-26608 | 19790403 |
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IT 64928-22-9P

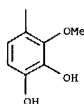
RL: SPN (Synthetic preparation); PREP (Preparation)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
GI (prepn. of)
RN 64928-22-9 CAPLUS
CN Formic acid, compd. with 1-[3-[[2-(3,4-dihydroxy-2-methoxyphenyl)-2-hydroxyethyl]amino]-3-methylbutyl]-3-phenyl-2-imidazolidinone (1:1) (9CI)
(CA INDEX NAME)
CM 1
CRN 64928-21-8
CMF C23 H31 N3 O5

PAGE 1-A



PAGE 2-A



CM 2
CRN 64-18-6
CMF C H2 O2

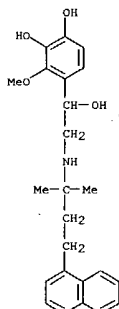
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
GI For diagram(s), see printed CA issue.
AB The title compds. (I) were prepared by catalytic hydrogenation of the corresponding amino ketones. Thus, α -bromo-4-(benzyloxy)acetophenone and N-[2-(1-naphthyl)-ethyl]benzylamine was refluxed in MeCN and the product hydrogenated (Pd-C) to give I [Q = (CH2)2, R = R1 = R2 = H]. Similarly prepared were 23 other I and 2 2-naphthyl analogs.
ACCESSION NUMBER: 1971:488382 CAPLUS
DOCUMENT NUMBER: 75:88382
TITLE: Pharmacologically active naphthylalkylamines
INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst O.; Trauneker, Werner
PATENT ASSIGNEE(S): Boehringer, C. H., Sohn
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
Patent
German
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| DE 1962497 | A | 19710616 | DE 1969-1962497 | 19691212 |
| DE 1962497 | C3 | 19790920 | | |
| DE 1962497 | B2 | 19790125 | | |
| CH 556322 | A | 19741129 | CH 1970-18189 | 19701209 |
| CH 556323 | A | 19741129 | CH 1973-4776 | 19701209 |
| CH 564509 | A | 19750731 | CH 1973-4777 | 19701209 |
| SE 378101 | B | 19750818 | SE 1970-16667 | 19701209 |
| NL 7018031 | A | 19710615 | NL 1970-18031 | 19701210 |
| NL 169583 | B | 19820301 | | |
| NL 169583 | C | 19820802 | | |
| HU 162736 | P | 19730428 | HU 1970-B01262 | 19701210 |
| RO 56808 | P | 19750315 | RO 1970-65259 | 19701210 |
| RO 61132 | P | 19761215 | RO 1970-68643 | 19701210 |
| RO 61063 | P | 19780715 | RO 1970-68644 | 19701210 |
| FR 2081347 | A1 | 19711203 | FR 1970-44709 | 19701211 |
| FR 2081347 | A5 | 19711203 | | |
| AT 299924 | B | 19720710 | AT 1970-11175 | 19701211 |
| AT 302284 | B | 19721010 | AT 1971-7400 | 19701211 |
| SU 384229 | D | 19730523 | SU 1970-1497851 | 19701211 |
| GB 1330188 | A | 19730912 | GB 1970-59091 | 19701211 |
| CS 151062 | P | 19730917 | CS 1970-8374 | 19701211 |
| CS 151063 | P | 19730917 | CS 1971-7139 | 19701211 |
| CS 151064 | P | 19730917 | CS 1971-7140 | 19701211 |
| ES 386345 | A1 | 19740101 | ES 1970-386345 | 19701211 |
| SU 417936 | D | 19740228 | SU 1970-1739938 | 19701211 |
| IL 35840 | A1 | 19740630 | IL 1970-35840 | 19701211 |
| AT 317192 | B | 19740812 | AT 1971-7401 | 19701211 |
| NO 131126 | B | 19741230 | NO 1970-4790 | 19701211 |
| PL 81424 | P | 19750830 | PL 1970-144934 | 19701211 |
| SU 505349 | D | 19760228 | SU 1970-1739939 | 19701211 |
| PL 84354 | P | 19760331 | PL 1970-174664 | 19701211 |
| PL 84355 | P | 19760331 | PL 1970-174663 | 19701211 |
| JP 51016420 | B4 | 19760524 | JP 1970-110358 | 19701211 |
| DK 136526 | B | 19771102 | DK 1970-6320 | 19701211 |
| FI 53301 | B | 19771230 | FI 1970-3343 | 19701211 |
| FI 53301 | C | 19780410 | | |
| ES 395482 | A1 | 19731216 | ES 1971-395482 | 19710928 |

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
O=CH-OH

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
ES 395481 A1 19731216 ES 1971-395481 19710928
JP 51038716 B4 19761023 JP 1973-59198 19730525
JP 52021505 B4 19770610 JP 1973-59199 19730525
US 3966814 A 19760629 US 1973-373933 19730627
PRIORITY APPLN. INFO.: DE 1969-1962497 19691212
US 1970-92527 19701124

IT 33457-03-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33457-03-3 CAPLUS
CN Benzyl alcohol, α -[1,1-dimethyl-3-(1-naphthyl)propyl]amino]methyl]-3,4-dihydroxy-2-methoxy-, hydrochloride (8CI) (CA INDEX NAME)



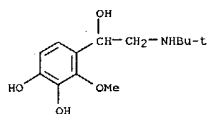
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 GI For diagram(s), see printed CA issue.
 AB The title compds. (I) were prepared (1) by the reaction of QCOCHR3X (R = R1 or a protective group; X = halogen) and HNR'R4 (II) (R' = H or CHR3), followed by reduction; or (2) by reduction of QR5COR3 (R5 = CO or CHOH) and R4NH2 or of the Schiff base condensed from both; or (3) by reaction of QE (E = 2-R3-substituted-1,2-epoxyethyl or CHOHCHR3) and II, followed by removal of the protective groups; or (4) by the reaction under reduction of QCH(OH)CHR3NH2 with R6COR7 (R6 = H or straight-chain lower alkyl, R7 = lower alkyl or 1,4-benzodioxan-2-yl); or (5) by reduction of QR5CONH4R, when the protective group RO = acetal or benzyl ether, and removal of the protective groups; or (6) by the reaction of QCH(OH)CHR3.NHR' with R4Y (Y = halo or an acid radical) in the presence of excess amine, Na2CO3, or K2CO3 and elimination of the protective groups. Thus, the Na salt of 2-hydroxy-3,4-diphenylmethoxy-acetophenone was reacted with EtOH and EtI to give 2-ethoxy-3,4-diphenylmethylenedioxyacetophenone (III), m. 82°. III (72 g) was reacted at 60° with 10 ml Br and then 60 g PhCH2NH-Pr-iso to yield 1-[2-ethoxy-3,4-(diphenylmethylenedioxy)phenyl 1]-1-oxo-2-(benzylisopropylamino)ethane (IV). After purifying 81 g IV in 540 ml MeOH and 270 ml H2O over animal C, the solution was hydrogenated over Pd/C, to yield 1-(2-ethoxy-3,4-dihydroxyphenyl)-1-oxo-2-(isopropylamino)ethane (V), hydrochloride m. 203-5° (95% iso-PrOH). V (20 g) was hydrogenated over Pt to yield 18 g 1-(2-ethoxy-3,4-dihydroxyphenyl)-1-hydroxy-2-(isopropylamino)ethane (I, R1 = R3 = H, R2 = EtO, R4 = iso-Pr), hydrochloride m. 184° (EtOH). Similarly prepared were the following I (R1, R2, R3, R4, and m.p. of hydrochloride, unless mentioned otherwise, given): H, Pr, H, iso-Pr, 158-9°; H, Me, H, 3-phenylpropyl, 176°; H, Me, H, 2-(p-hydroxyphenyl)isopropyl, (benzoate) 110°; H, MeO, H, cyclopentyl, 161-2°; H, MeO, H, phenoxylethyl, 87-9° (crystallized with 0.5 mole Me2CO); H, MeO, H, tert-Bu, 97-9° (base) (0.5 mole H2O of crystallization); H, MeO, H, p-tolylxyethyl, 109-11°; H, MeO, H, o-tolylxyethyl, 134-5°; H, MeO, H, m-tolylxyethyl, 126-7°; H, MeO, H, o-methoxyphenoxyethyl, 78-80° (crystallized with 1 mole MeCN); H, MeO, H, 1,1-dimethyl-3-phenylpropyl, 175-6°; H, MeO, H, 1,1-dimethyl-3-p-tolylpropyl, 168-70°; H, Me, H, tert-Bu, (benzoate) 179-81°; H, MeO, Et, iso-Pr, 220-2°; Ac, Me, H, iso-Pr, 99°; Ac, MeO, H, iso-Pr, . Prepared intermediates are (m.p. or b.p. given): 3-allyloxy-4-methoxyacetophenone, b4 180-2°; 2-allyl-3-hydroxy-4-methoxyacetophenone, 87-9°; 2-propyl-3-hydroxy-4-methoxyacetophenone, ; 2-propyl-3-acetoxy-4-methoxybromoacetophenone, ; 1-(2-propyl-3-acetoxy-4-methoxyphenyl)-1-oxo-2-(benzylisopropylamino)ethane, ; 1-(2-propyl-3-hydroxy-4-methoxyphenyl)-1-oxo-2-(benzylisopropylamino)ethane-HCl, 100°; 1-(2-propyl-3-hydroxy-4-methoxyphenyl)-1-oxo-2-(isopropylamino)ethane-HCl, 93-5°; 1-(2-propyl-3,4-dihydroxyphenyl)-1-oxo-2-isopropylaminoethane-HCl, 181-2°; α-bromo-2-methyl-3,4-dimethoxyacetophenone, 88°; α-(benzyl-3-phenylpropylamino)-2-methyl-3,4-dimethoxyacetophenone (MO2C) 2, 118-21°; α-(3-phenylpropylamino)-2-methyl-3,4-dimethoxyacetophenone-HCl, 210-17°; α-(3-phenylpropylamino)-2-methyl-3,4-dihydroxyacetophenone-HBr, 179° (base m. 130-8°);

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 α-[2-(p-methoxyphenyl)isopropylamino]-2-methyl-3,4-dimethoxyacetophenone-HCl, 205°; α-[2-(p-hydroxyphenyl)isopropylamino]-2-methyl-3,4-dihydroxyacetophenone-HBr, 115-25° (HCl salt m. 120-35°); 2-hydroxy-3,4-(diphenylmethylenedioxy)acetophenone, 155-6°; 2-methoxy-3,4-(diphenylmethylenedioxy)acetophenone, ; α-bromo-2-methoxy-3,4-(diphenylmethylenedioxy)acetophenone, 137°; α-(cyclopentylamino)-2-methoxy-3,4-dihydroxyacetophenone-HCl, 202-3°; α-(benzylphenoxyethylamino)-2-methoxy-3,4-(diphenylmethylenedioxy)acetophenone-HCl, 159-61°; α-(phenoxyethylamino)-2-methoxy-3,4-(diphenylmethylenedioxy)acetophenone-HCl, 190-2°; α-(phenoxyethylamino)-2-methoxy-3,4-dihydroxyacetophenone-HCl, 174-5°; α-(p-tolylxyethylamino)-2-methoxy-3,4-dihydroxyacetophenone-HCl, 181-2°; α-(tert-butylamino)-2-methoxy-3,4-(diphenylmethylenedioxy)acetophenone-HCl, 162-3°; α-(tert-butylamino)-2-methoxy-3,4-dihydroxyacetophenone-HCl, 189-90°; α-(o-tolylxyethylamino)-2-methoxy-3,4-dihydroxyacetophenone-HCl, 197-9°; α-(m-tolylxyamino)-2-methoxy-3,4-dihydroxyacetophenone-HCl, 170-2°; α-(o-methoxyphenoxyethylamino)-2-methoxy-3,4-dihydroxyacetophenone-HCl, 152-3°; α-(1,1-dimethyl-3-phenylpropylamino)-2-methoxy-3,4-(diphenylmethylenedioxy)acetophenone-HCl, 174-6°; α-(1,1-dimethyl-3-phenylpropylamino)-2-methoxy-3,4-dihydroxyacetophenone-HCl, 173-5°; α-(1,1-dimethyl-3-p-tolylpropylamino)-2-methoxy-3,4-dihydroxyacetophenone-HCl, 166-7°; α-bromo-2-methyl-3,4-bis(benzylxy)acetophenone, 123°; α-(tert-butylamino)-2-methyl-3,4-bis(benzylxy)acetophenone-HCl, 199-204°; 1-(2-methyl-3,4-bis(benzylxy)phenyl)-2-(tert-butylamino)ethanol, 111-12°; 2-methoxy-3,4-(diphenylmethylenedioxy)butyrophenone, 93-4°; α-bromo-2-methoxy-3,4-(diphenylmethylenedioxy)butyrophenone, ; α-(isopropylamino)-2-methoxy-3,4-(diphenylmethylenedioxy)butyrophenone-HCl, 93-4°; α-(isopropylamino)-2-methoxy-3,4-dihydroxybutyrophenone-HCl, 188-90° (decomp.); 1-(3,4-diacetoxy-2-methylphenyl)-1-oxo-2-isopropylaminoethane-HCl, 156°; and 1-(3,4-diacetoxy-2-methoxyphenyl)-1-oxo-2-isopropylaminoethane-HCl, 166-7°. I show sympathomimetic properties and dilate the peripheral vessels.

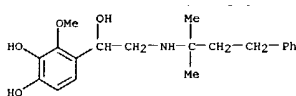
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| DE 1543374 | A | 19720420 | DE 1966-B89476 | 19661020 |
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L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 CW 507200 A 19710515 CH 1967-507200 19671016
 CH 523219 A 19720531 CH 1967-523219 19671016
 CH 548365 A 19740430 CH 1972-4528 19671016
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 NL 158480 B 19781115
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 DE 1966-B90062 A 19661129

IT 24007-97-4P 24008-01-3P 24008-02-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 24007-97-4 CAPLUS
 CN 1,2-Benzenediol,
 4-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-3-methoxy-
 (9CI) (CA INDEX NAME)

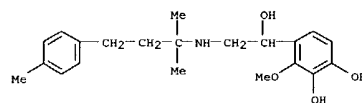


RN 24008-01-3 CAPLUS
 CN 1,2-Benzenediol,
 4-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-
 3-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 RN 24008-02-4 CAPLUS
 CN 1,2-Benzenediol, 4-[2-[(1,1-dimethyl-3-(4-methylphenyl)propyl)amino]-1-hydroxyethyl]-3-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

GI For diagram(s), see printed CA issue.

AB I, having broncholytic, antipruritic, and peripheral vasodilatory activities, are prepared via III and II by sequential debenzilation with

H

over Pd on C in MeOH at 60° and 5 atmospheric and then hydrogenation over Pt or Raney Ni in MeOH. Alternately for X = PhCH₂, II are reduced to I with NaBH₄ followed by debenzilation as above. III are prepared by treatment of the appropriate α-bromoacetophenone with R₁R₂NH. Of protecting groups used, X and (or) X' = Me are removed by 1.5-hr. reflux in 40-50% HBr and (XX' =) Ph₂C (introduced by the action of Ph₂CCl₂ and pyridine in Me₂CO) is removed either during the debenzilation or by 2-hr. reflux in a concentrated HCl-MeOH mixture I and II prepared are

tabulated. Addnl.

described was IV.HCl (R = Pr, R₁ = iso-Pr, X = H, X' = Me), m. 93-5°. V described were (R, R₁, X, X', m.p. given): Me, Ph(CH₂)₃, Me, Me-[hydrogen oxalate m. 118-21° (Et₂O)]; MeO, PhOCH₂CH₂, (XX' =) Ph₂C, 159-61° (CH₂Cl₂-EtOAc). The preparation of several intermediates is also given.

ACCESSION NUMBER: 1969:523933 CAPLUS

DOCUMENT NUMBER: 71:123933

TITLE: Broncholytic phenyl alkanolamines

INVENTOR(S): Mentrup, Anton; Schremm, Kurt; Thomae, Otto; Zeile, Karl

PATENT ASSIGNEE(S): Boehringer Ingelheim G.m.b.H.

SOURCE: S. African, 26 pp.

CODEN: SPXXAB

DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| ZA 6802423 | | 19681108 | ZA | 19680417 |

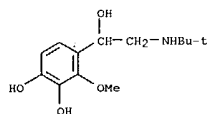
IT 24007-97-4P 24008-01-3P 24008-02-4P

RL: SPN (Synthetic preparation); PREP (Preparation of)

RN 24007-97-4 CAPLUS

CN 1,2-Benzenediol,

4-[2-[(1,1-dimethylethylamino)-1-hydroxyethyl]-3-methoxy- (9CI) (CA INDEX NAME)

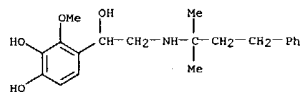


RN 24008-01-3 CAPLUS

CN 1,2-Benzenediol,

4-[2-[(1,1-dimethyl-3-phenylpropylamino)-1-hydroxyethyl]-3-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

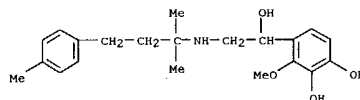
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

RN 24008-02-4 CAPLUS

CN 1,2-Benzenediol, 4-[2-[(1,1-dimethyl-3-(4-methylphenyl)propylamino)-1-hydroxyethyl]-3-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

48.12

203.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-6.30

-6.30

STN INTERNATIONAL LOGOFF AT 13:27:55 ON 10 NOV 2004